

L17 ANSWER 57 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN

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DOCUMENT NUMBER: 127:65756

TITLE: Preparation of substituted isoxazoles for the treatment of inflammation

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PATENT ASSIGNEE(S): USA

SOURCE: U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 387,680, abandoned.

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DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5633272	A	19970527	US 1995-473884	19950607
CA 2212836	AA	19960822	CA 1996-2212836	19960212
CA 2212836	C	20030812		
WO 9625405	A1	19960822	WO 1996-US1869	19960212
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR				
AU 9648671	A1	19960904	AU 1996-48671	19960212
AU 699593	B2	19981210		
BR 9607035	A	19971104	BR 1996-7035	19960212
EP 809636	A1	19971203	EP 1996-904614	19960212
EP 809636	B1	20020904		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
CN 1181075	A	19980506	CN 1996-193240	19960212
CN 1107058	B	20030430		
JP 11503722	T2	19990330	JP 1996-525057	19960212
JP 3267300	B2	20020318		
JP 2002179656	A2	20020626	JP 2001-326343	19960212
EP 1223167	A2	20020717	EP 2002-3253	19960212
EP 1223167	A3	20020807		
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AT 223390	E	20020915	AT 1996-904614	19960212
PT 809636	T	20021231	PT 1996-904614	19960212
RU 2200158	C2	20030310	RU 1997-115452	19960212
ES 2183935	T3	20030401	ES 1996-904614	19960212
PL 185510	B1	20030530	PL 1996-321814	19960212
PL 185544	B1	20030530	PL 1996-351239	19960212
CZ 293211	B6	20040317	CZ 1997-2546	19960212
ZA 9601150	A	19970212	ZA 1996-1150	19960213
TW 449587	B	20010811	TW 1996-85109684	19960809
US 5859257	A	19990112	US 1996-702417	19960814
US 5985902	A	19991116	US 1997-801768	19970218
FI 9703292	A	19970811	FI 1997-3292	19970811
NO 9703711	A	19971006	NO 1997-3711	19970812

CN 1442139  
PRIORITY APPLN. INFO.:

A 20030917

CN 2003-107042

20030228

US 1995-387680

B2 19950213

US 1995-473884

A 19950607

EP 1996-904614

A3 19960212

JP 1996-525057

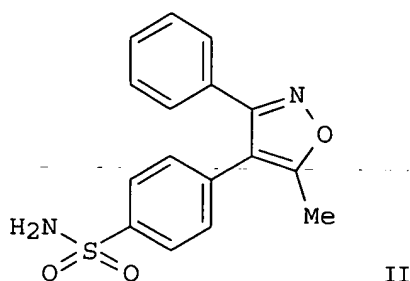
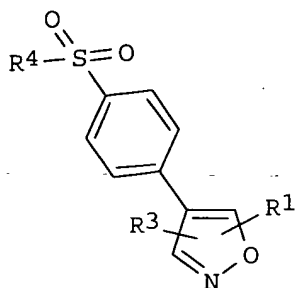
A3 19960212

WO 1996-US1869

W 19960212

OTHER SOURCE(S):  
GI

MARPAT 127:65756



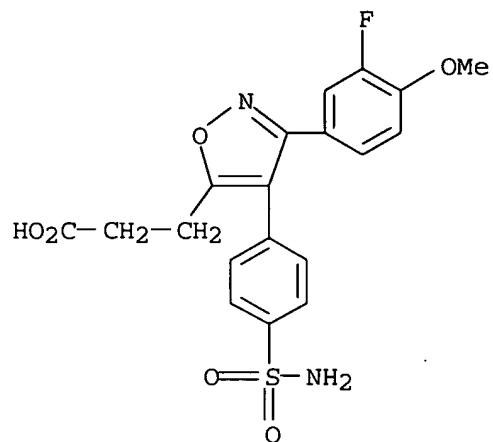
AB The title compds. [I; R1 = alkyl, carboxyalkyl, alkoxyalkyl, etc.; R3 = (un)substituted cycloalkyl, cycloalkenyl, aryl; R4 = lower alkyl, OH, NH2], useful in treatment of inflammation and inflammation-associated disorders such as arthritis, pain, and fever, were prepared. Thus, treatment of desoxybenzoin oxime with BuLi/hexanes in THF followed by addition of Ac2O, reaction of the resulting 3,4-diphenyl-4-hydro-5-hydroxy-5-methylisoxazole with ClSO3H, and treatment of the intermediate with saturated NH4OH solution afforded 30% II which showed ID50 of < 0.1  $\mu$ M against COX-2.

IT 181695-73-8P 181695-74-9P 181695-75-0P  
181695-80-7P 181695-82-9P 181695-83-0P  
181695-84-1P 181696-24-2P 181696-25-3P  
181696-26-4P 181696-27-5P 181696-28-6P  
181696-29-7P 181696-30-0P 181696-31-1P  
181696-32-2P 181696-34-4P 181696-37-7P  
181696-38-8P 181696-39-9P 181696-40-2P  
181696-41-3P 181696-42-4P 181696-43-5P  
181696-44-6P 181696-45-7P 191421-97-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of substituted isoxazoles for the treatment of inflammation)

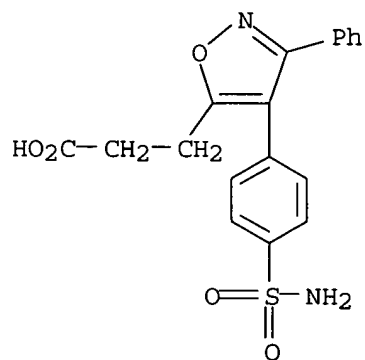
RN 181695-73-8 CAPLUS

CN 5-Isoxazolepropanoic acid, 4-[4-(aminosulfonyl)phenyl]-3-(3-fluoro-4-methoxyphenyl)- (9CI) (CA INDEX NAME)



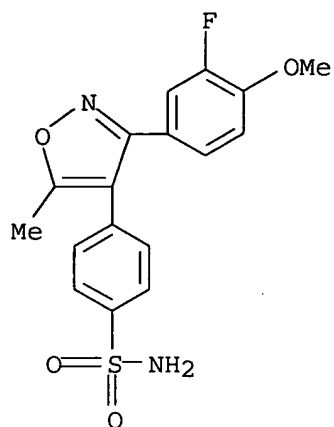
RN 181695-74-9 CAPLUS

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(CA INDEX NAME)



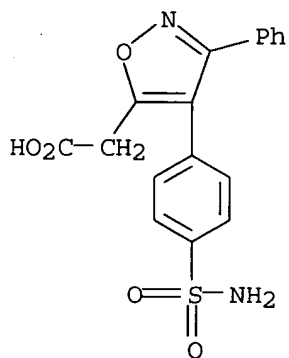
RN 181695-75-0 CAPLUS

CN Benzenesulfonamide, 4-[3-(3-fluoro-4-methoxyphenyl)-5-methyl-4-isoxazolyl]-  
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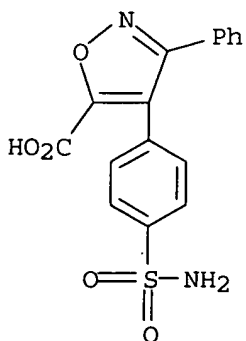
RN 181695-80-7 CAPLUS

CN 5-Isioxazoleacetic acid, 4-[4-(aminosulfonyl)phenyl]-3-phenyl- (9CI) (CA INDEX NAME)



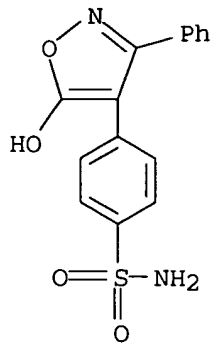
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CN 5-Isioxazolecarboxylic acid, 4-[4-(aminosulfonyl)phenyl]-3-phenyl- (9CI) (CA INDEX NAME)



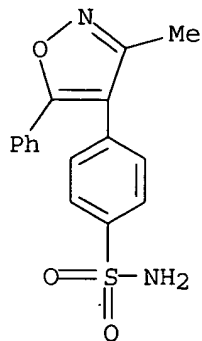
RN 181695-83-0 CAPLUS

CN Benzenesulfonamide, 4-(5-hydroxy-3-phenyl-4-isoxazolyl)- (9CI) (CA INDEX NAME)



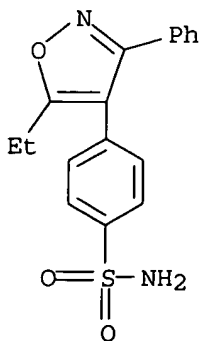
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CN Benzenesulfonamide, 4-(3-methyl-5-phenyl-4-isoxazolyl)- (9CI) (CA INDEX NAME)



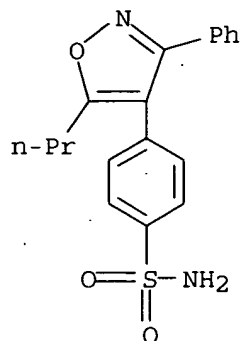
RN 181696-24-2 CAPLUS

CN Benzenesulfonamide, 4-(5-ethyl-3-phenyl-4-isoxazolyl)- (9CI) (CA INDEX NAME)



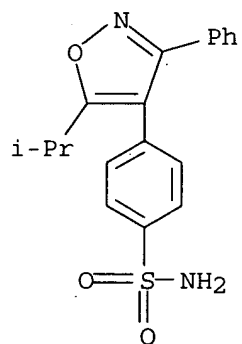
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CN Benzenesulfonamide, 4-(3-phenyl-5-propyl-4-isoxazolyl)- (9CI) (CA INDEX NAME)



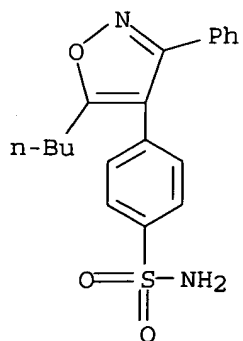
RN 181696-26-4 CAPLUS

CN Benzenesulfonamide, 4-[5-(1-methylethyl)-3-phenyl-4-isoxazolyl]- (9CI) (CA INDEX NAME)

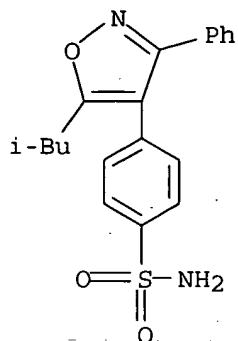


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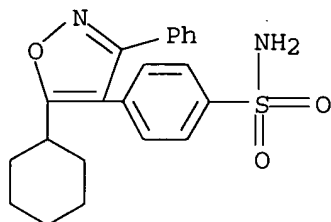
CN Benzenesulfonamide, 4-(5-butyl-3-phenyl-4-isoxazolyl)- (9CI) (CA INDEX NAME)



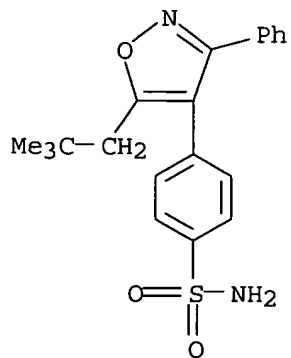
RN 181696-28-6 CAPLUS

CN Benzenesulfonamide, 4-[5-(2-methylpropyl)-3-phenyl-4-isoxazolyl] - (9CI)  
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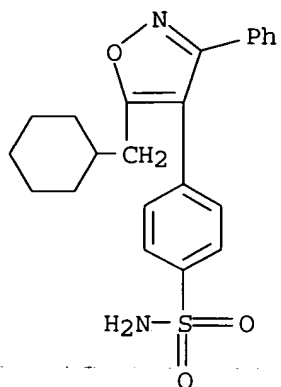
RN 181696-29-7 CAPLUS

CN Benzenesulfonamide, 4-(5-cyclohexyl-3-phenyl-4-isoxazolyl) - (9CI) (CA  
INDEX NAME)

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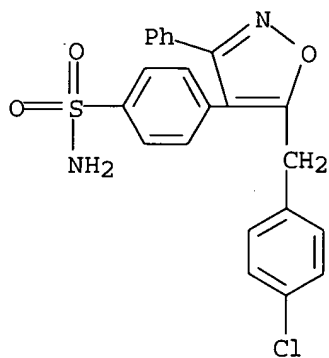
CN Benzenesulfonamide, 4-[5-(2,2-dimethylpropyl)-3-phenyl-4-isoxazolyl] -  
(9CI) (CA INDEX NAME)

RN 181696-31-1 CAPLUS

CN Benzenesulfonamide, 4-[5-(cyclohexylmethyl)-3-phenyl-4-isoxazolyl] - (9CI)  
(CA INDEX NAME)

RN 181696-32-2 CAPLUS

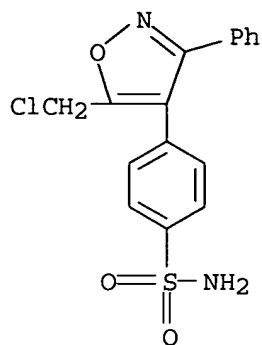
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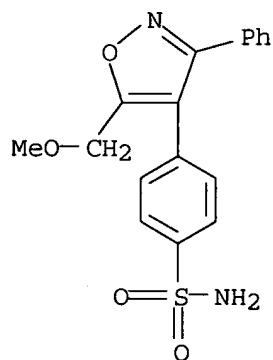
CN Benzenesulfonamide, 4-[5-(chloromethyl)-3-phenyl-4-isoxazolyl] - (9CI) (CA INDEX NAME)





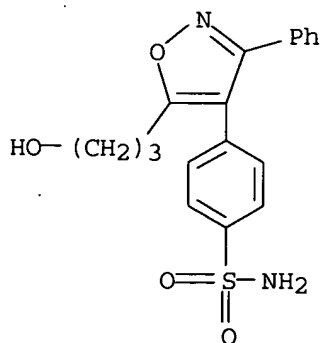
RN 181696-37-7 CAPLUS

CN Benzenesulfonamide, 4-[5-(methoxymethyl)-3-phenyl-4-isoxazolyl]- (9CI)  
(CA INDEX NAME)



RN 181696-38-8 CAPLUS

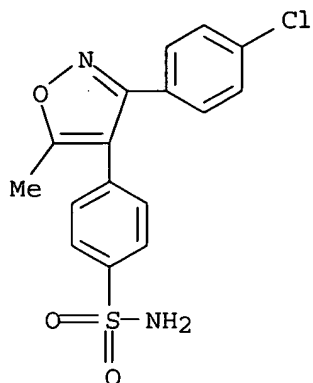
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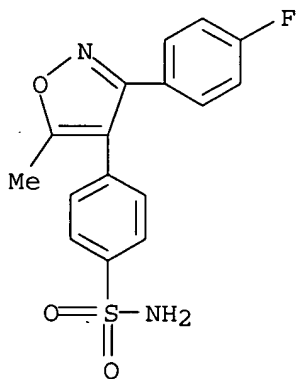
RN 181696-39-9 CAPLUS

CN Benzenesulfonamide, 4-[3-(4-chlorophenyl)-5-methyl-4-isoxazolyl]- (9CI)

(CA INDEX NAME)

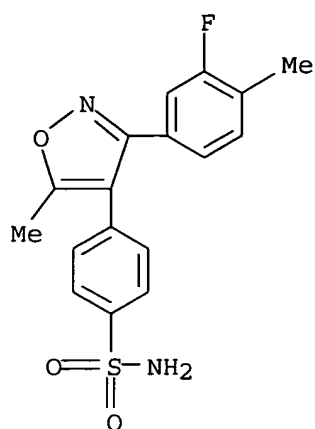


RN 181696-40-2 CAPLUS

CN Benzenesulfonamide, 4-[3-(4-fluorophenyl)-5-methyl-4-isoxazolyl] - (9CI)  
(CA INDEX NAME)

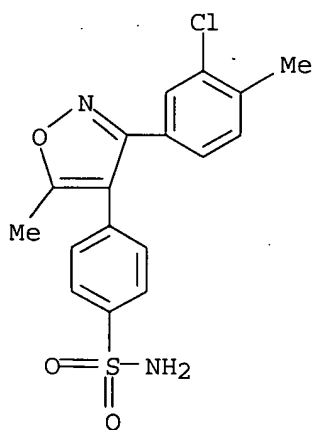
RN 181696-41-3 CAPLUS

CN Benzenesulfonamide, 4-[3-(3-fluoro-4-methylphenyl)-5-methyl-4-isoxazolyl] -  
(9CI) (CA INDEX NAME)



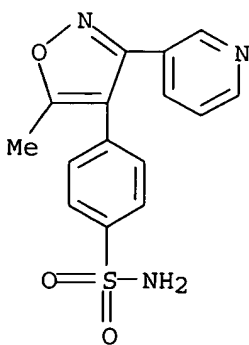
RN 181696-42-4 CAPLUS

CN Benzenesulfonamide, 4-[3-(3-chloro-4-methylphenyl)-5-methyl-4-isoxazolyl]-  
(9CI) (CA INDEX NAME)



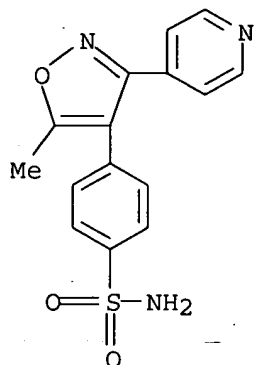
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CN Benzenesulfonamide, 4-[5-methyl-3-(3-pyridinyl)-4-isoxazolyl]- (9CI) (CA  
INDEX NAME)



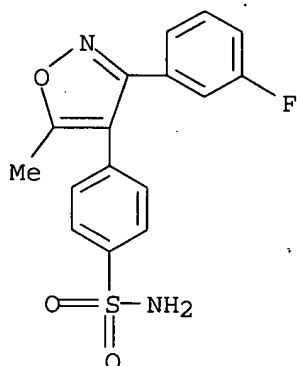
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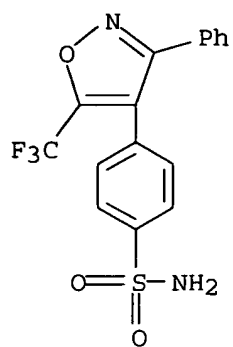
RN 181696-45-7 CAPLUS

CN Benzenesulfonamide, 4-[3-(3-fluorophenyl)-5-methyl-4-isoxazolyl]- (9CI)  
(CA INDEX NAME)



RN 191421-97-3 CAPLUS

CN Benzenesulfonamide, 4-[3-phenyl-5-(trifluoromethyl)-4-isoxazolyl]- (9CI)  
(CA INDEX NAME)



IT 181696-77-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted isoxazoles for the treatment of inflammation)

RN 181696-77-5 CAPLUS

CN 5-Isioxazolepropanoic acid, 4-[4-(aminosulfonyl)phenyl]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

